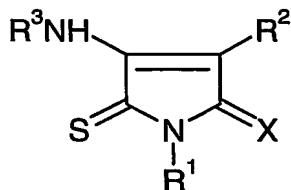


## CLAIMS

## 1. A compound of Formula I



5 Formula I

wherein:

**R<sup>1</sup>** is selected from phenyl(1-4C)alkyl wherein the phenyl is optionally substituted by (1-

10 4C)alkoxycarbonyl or a group of formula NR<sup>a</sup>R<sup>b</sup> in which R<sup>a</sup> and R<sup>b</sup> independently represent H or (1-4C)alkyl; heteroaryl(1-4C)alkyl wherein the heteroaryl is optionally substituted by (1-4C)alkyl or a group of formula NR<sup>a</sup>R<sup>b</sup> in which R<sup>a</sup> and R<sup>b</sup> independently represent H or (1-4C)alkyl; or a (1-6C)alkyl group which is optionally substituted by one or more of the following: fluoro, (1-4C)alkoxycarbonyl, (1-3C)alkylthio or (1-3C)alkoxy 15 optionally substituted by one or more fluoro;

**R<sup>2</sup>** is phenyl;

**R<sup>3</sup>** is selected from phenyl, indolyl or benzofuranyl each optionally substituted by one or more of the following: (1-3C)alkanoyl, (1-4C)alkoxy optionally substituted by one or more fluoro; (1-3C)alkylthio; or a group of formula NR<sup>a</sup>R<sup>b</sup> in which R<sup>a</sup> and R<sup>b</sup> independently represent H, (1-3C)alkyl or (1-3C)alkanoyl or R<sup>a</sup> and R<sup>b</sup> together with the nitrogen atom to which they are attached represent morpholino;

**X** is O or S;

or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt.

25 2. A compound according to claim 1 wherein **X** is O.

3. A compound according to claim 1 wherein **X** is S.

4. A compound according to any previous claim in which  $\mathbf{R}^1$  is selected from methyl, ethyl, propyl, butyl, 2-methoxyethyl, 2,2,2-trifluoroethyl, benzyl, 4-pyridylmethyl, 3-pyridylmethyl or 6-amino-3-pyridylmethyl.

5. A compound according to any previous claim in which  $\mathbf{R}^3$  is 4-methoxyphenyl, 4-difluoromethoxyphenyl or 4-morpholinophenyl.

6. A compound according to any of claims 1-3 wherein  $\mathbf{R}^1$  is selected from methyl, ethyl, 2,2,2-trifluoroethyl, benzyl, 3-pyridylmethyl or 6-amino-3-pyridylmethyl;

10  $\mathbf{R}^2$  is phenyl;

$\mathbf{R}^3$  is selected from 4-methoxyphenyl, 4-difluoromethoxyphenyl or 4-morpholinophenyl;

$\mathbf{X}$  is O or S.

7. A compound according to any of claims 1-3 wherein  $\mathbf{R}^1$  is selected from ethyl, 2,2,2-trifluoroethyl, benzyl, 3-pyridylmethyl or 6-amino-3-pyridylmethyl;

15  $\mathbf{R}^2$  is phenyl;

$\mathbf{R}^3$  is selected from 4-methoxyphenyl, 4-difluoromethoxyphenyl or 4-morpholinophenyl;

$\mathbf{X}$  is O or S.

20 8. A compound according to any of claims 1-3 wherein  $\mathbf{R}^1$  is selected from methyl, ethyl, 2,2,2-trifluoroethyl, 2-pyridylmethyl, 3-pyridylmethyl or 4-pyridylmethyl;

$\mathbf{R}^2$  is phenyl;

$\mathbf{R}^3$  is selected from 4-methoxyphenyl;

$\mathbf{X}$  is O or S.

25

9. A compound according to any of claims 1-3 wherein  $\mathbf{R}^1$  is selected from 2-methoxyethyl or 6-amino-3-pyridylmethyl;

$\mathbf{R}^2$  is phenyl;

$\mathbf{R}^3$  is selected from 4-methoxyphenyl or 4-difluoromethoxyphenyl;

30  $\mathbf{X}$  is O or S.

10. A compound selected from one or more of the following:

1-(2-Methoxyethyl)-4-[(4-methoxyphenyl)amino]-3-phenyl-5-thioxo-1,5-dihydro-2H-pyrrol-2-one;

1-(2-Methoxyethyl)-3-[(4-methoxyphenyl)amino]-4-phenyl-1H-pyrrole-2,5-dithione;

4-[(4-Methoxyphenyl)amino]-3-phenyl-1-(pyridin-3-ylmethyl)-5-thioxo-1,5-dihydro-2H-pyrrol-2-one;

3-[(4-Methoxyphenyl)amino]-4-phenyl-1-(pyridin-3-ylmethyl)-1H-pyrrole-2,5-dithione;

4-[(4-Methoxyphenyl)amino]-3-phenyl-1-(pyridin-4-ylmethyl)-5-thioxo-1,5-dihydro-2H-pyrrol-2-one;

3-[(4-Methoxyphenyl)amino]-4-phenyl-1-(pyridin-4-ylmethyl)-1H-pyrrole-2,5-dithione;

10 1-Butyl-4-[(4-methoxyphenyl)amino]-3-phenyl-5-thioxo-1,5-dihydro-2H-pyrrol-2-one;

1-Butyl-3-[(4-methoxyphenyl)amino]-4-phenyl-1H-pyrrole-2,5-dithione;

4-[(4-Methoxyphenyl)amino]-3-phenyl-5-thioxo-1-(2,2,2-trifluoroethyl)-1,5-dihydro-2H-pyrrol-2-one;

3-[(4-Methoxyphenyl)amino]-4-phenyl-1-(2,2,2-trifluoroethyl)-1H-pyrrole-2,5-dithione;

15 1-Benzyl-4-[(4-methoxyphenyl)amino]-3-phenyl-5-thioxo-1,5-dihydro-2H-pyrrol-2-one;

1-Benzyl-3-[(4-methoxyphenyl)amino]-4-phenyl-1H-pyrrole-2,5-dithione;

4-[(4-Methoxyphenyl)amino]-1-methyl-3-phenyl-5-thioxo-1,5-dihydro-2H-pyrrol-2-one;

3-[(4-Methoxyphenyl)amino]-1-methyl-4-phenyl-1H-pyrrole-2,5-dithione;

1-Ethyl-4-[(4-methoxyphenyl)amino]-3-phenyl-5-thioxo-1,5-dihydro-2H-pyrrol-2-one;

20 1-Ethyl-3-[(4-methoxyphenyl)amino]-4-phenyl-1H-pyrrole-2,5-dithione;

1-[(6-Aminopyridin-3-yl)methyl]-4-{[4-(difluoromethoxy)phenyl]amino}-3-phenyl-5-thioxo-1,5-dihydro-2H-pyrrol-2-one;

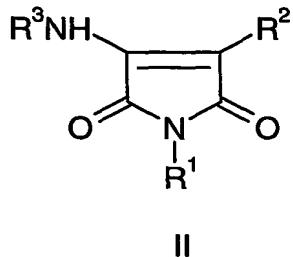
1-[(6-Aminopyridin-3-yl)methyl]-3-{[4-(difluoromethoxy)phenyl]amino}-4-phenyl-1H-pyrrole-2,5-dithione;

25 1-[(6-Aminopyridin-3-yl)methyl]-4-[(4-morpholin-4-ylphenyl)amino]-3-phenyl-5-thioxo-1,5-dihydro-2H-pyrrol-2-one;

1-[(6-Aminopyridin-3-yl)methyl]-3-[(4-morpholin-4-ylphenyl)amino]-4-phenyl-1H-pyrrole-2,5-dithione;

or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt.

11. A process for the preparation of a compound according to any one of claims 1-10, wherein  $\mathbf{R}^1$ ,  $\mathbf{R}^2$  and  $\mathbf{R}^3$  are as defined in claim 1, comprising the step of reacting a compound of formula II,



5       wherein  $\mathbf{R}^2$  and  $\mathbf{R}^3$  are as defined in claim 1, with a sulphurating agent, for example Lawesson's reagent, optionally in the presence of an inert organic liquid for example an aromatic hydrocarbon, e.g. toluene, at a temperature in the range of 0°C to 200°C.

10      12. A pharmaceutical formulation comprising a compound according to any one of claims 1-10 in admixture with pharmaceutically acceptable adjuvants, diluents and/or carriers.

15      13. The use of a compound according to any one of claims 1-10 in therapy.

14. The use of a compound according to any one of claims 1-10 for the manufacture of a medicament for the modulation of the nuclear hormone receptors LXR  $\alpha$  and/or  $\beta$ .

15      15. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament for the treatment and/or prophylaxis of cardiovascular disease.

20      16. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament for the treatment and/or prophylaxis of atherosclerosis.

17. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament for the treatment and/or prophylaxis of hypercholesterolemia.

18. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament for the treatment and/or prophylaxis of conditions associated with a need for improving reverse cholesterol transport.
- 5 19. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament for the treatment and/or prophylaxis of conditions associated with a need for decreasing intestinal cholesterol absorption.
- 10 20. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament for the treatment and/or prophylaxis of conditions associated with a need for increasing HDL-cholesterol levels.
- 15 21. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament for the treatment and/or prophylaxis of conditions associated with a need for decreasing LDL-cholesterol levels.
22. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament for the treatment and/or prophylaxis of inflammatory conditions.
- 20 23. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament for the treatment and/or prophylaxis of Alzheimer's disease.
24. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament for the treatment and/or prophylaxis of arteriosclerosis.
- 25 25. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament for the treatment and/or prophylaxis of type 2 diabetes.
- 30 26. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament the treatment and/or prophylaxis of conditions associated with a need for improving HDL function.

27. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament for the treatment and/or prophylaxis of lipid disorders (dyslipidemia) whether or not associated with insulin resistance.

5 28. A method of treating and/or preventing lipid disorders (dyslipidemia) whether or not associated with insulin resistance comprising the administration of a compound according to any one of claims 1-10 to a mammal in need thereof.

10 29. A method for treatment and/or prophylaxis of cardiovascular disease comprising administering to a mammal, including man, in need of such a treatment an effective amount of a compound as defined in any of claims 1-10.

15 30. A method of treating and/or preventing atherosclerosis comprising the administration of an effective amount of a compound of formula I according to any one of claims 1-10 to a mammal in need thereof.

31. A method for treatment and/or prophylaxis of hypercholesterolemia comprising administering to a mammal, including man, in need of such a treatment an effective amount of a compound as defined in any of claims 1-10.

20 32. A method for treatment and/or prophylaxis of conditions associated with a need for improving reverse cholesterol transport comprising administering to a mammal, including man, in need of such a treatment an effective amount of a compound as defined in any of claims 1-10.

25 33. A method for treatment and/or prophylaxis of conditions associated with a need for decreasing intestinal cholesterol absorption comprising administering to a mammal, including man, in need of such a treatment an effective amount of a compound as defined in any of claims 1-10.

30 34. A method for treatment and/or prophylaxis of conditions associated with a need for increasing HDL-cholesterol levels comprising administering to a mammal, including man,

in need of such a treatment an effective amount of a compound as defined in any of claims 1-10.

35. A method for treatment and/or prophylaxis of conditions associated with a need for 5 decreasing LDL-cholesterol levels comprising administering to a mammal, including man, in need of such a treatment an effective amount of a compound as defined in any of claims 1-10.

36. A method for treatment and/or prophylaxis of inflammatory conditions comprising 10 administering to a mammal, including man, in need of such a treatment an effective amount of a compound as defined in any of claims 1-10.

37. A method for treatment and/or prophylaxis of Alzheimer's disease comprising 15 administering to a mammal, including man, in need of such a treatment an effective amount of a compound as defined in any of claims 1-10.

38. A method for treatment and/or prophylaxis of arteriosclerosis comprising administering to a mammal, including man, in need of such a treatment an effective amount of a compound as defined in any of claims 1-10. 20

39. A method for treatment and/or prophylaxis of type 2 diabetes comprising administering to a mammal, including man, in need of such a treatment an effective amount of a compound as defined in any of claims 1-10.

40. A method for treatment and/or prophylaxis of conditions associated with a need for 25 improving HDL function comprising administering to a mammal, including man, in need of such a treatment an effective amount of a compound as defined in any of claims 1-10.

41. A pharmaceutical formulation for use in the treatment or prophylaxis of conditions 30 associated with a need for modulation of the nuclear hormone receptors LXR  $\alpha$  and/or  $\beta$ , comprising a compound according to any one of claims 1-10 as active ingredient in admixture with a pharmaceutically acceptable adjuvant, diluent or carrier.

42. A pharmaceutical composition comprising a compound as claimed in any one of claims 1-10 combined with another therapeutic agent that is useful in the treatment of conditions or disorders associated with the development and progress of atherosclerosis such as

5 hypertension, dyslipidemias, hyperlipidaemias, hypercholesterolemias, type 2 diabetes, inflammation, obesity as well as conditions associated with a need for improving reverse cholesterol transport and/or decreasing intestinal cholesterol absorption.